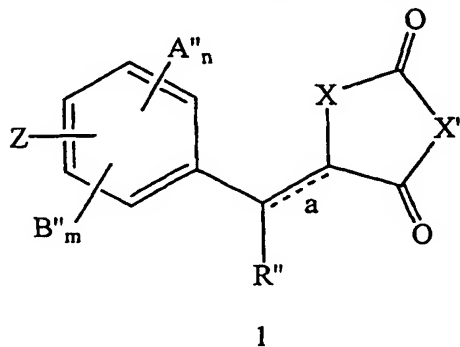
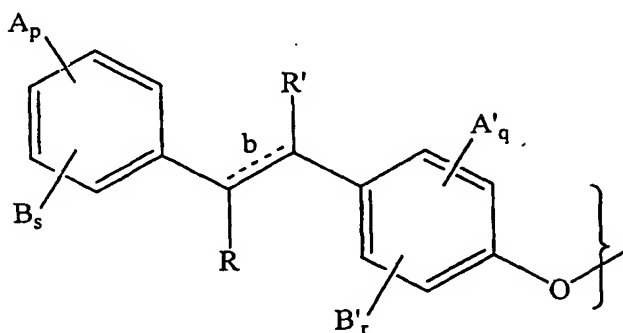


Claims:

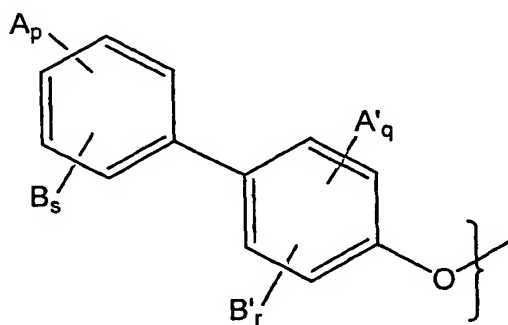
1. A compound represented by the following formula 1:



- 5 wherein Z is



or



- 10  $n, m, q$  and  $r$  independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ;  $p$  and  $s$  independently represent integers from zero to 5 provided that  $p + s \leq 5$ ;  $a, b$  and  $c$  represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

R and R' each independently represent a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; -CONR<sub>2</sub>'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

5

R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

10

R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

15

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

20

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

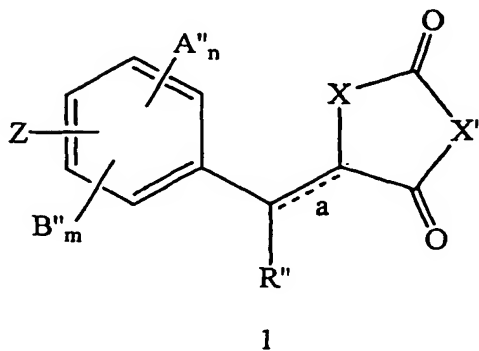
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or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

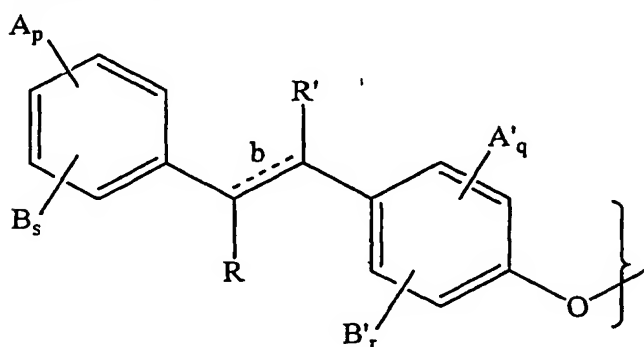
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X and X' independently represent >NH, >NR''', -O-, or -S-.

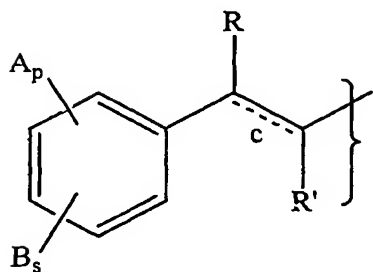
2. A compound represented by the following formula 1:



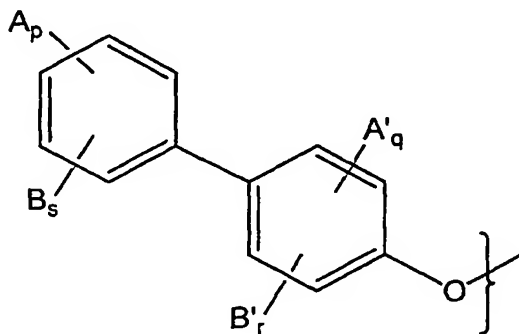
wherein Z is



5 or



or



n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and q  
 10  $+ r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a,

b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

- 5 R independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; -CONR<sub>2</sub>''''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;
- 10 R' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OR'''; -CONR<sub>2</sub>''''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;
- 15 R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;
- 20 R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;
- R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;
- 25

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

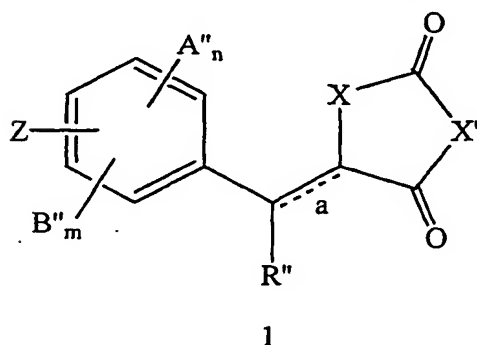
- A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;
- 30

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

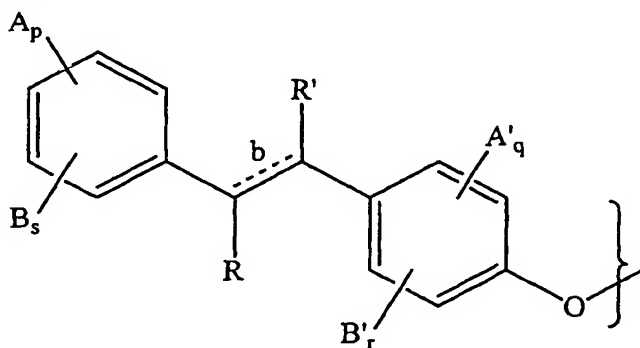
- 5 or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR''', -O-, or -S-.

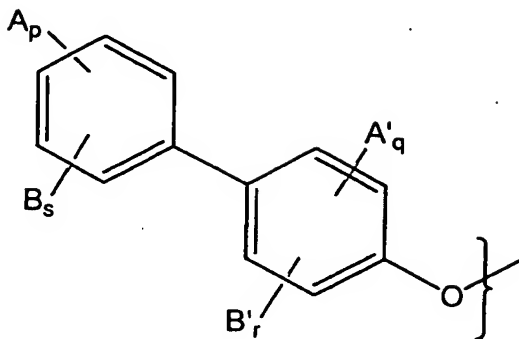
- 10 3. A pharmaceutical composition comprising:  
a therapeutically effective amount of a compound represented by the following formula 1:



wherein Z is



- 15 or



n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a, b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

R and R' each independently represent a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ;  $-CONR_2'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

$R''$  independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

$R'''$  independently represents a linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl; or  $-(CH_2)_x$ -Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

$R''''$  independently represents a hydrogen atom; optionally substituted  $C_1$ - $C_{20}$  alkyl; optionally substituted  $C_1$ - $C_{20}$  alkoxy; optionally substituted  $C_2$ - $C_{20}$  alkenyl; optionally substituted  $C_6$ - $C_{10}$  aryl; or  $NR_2''''$  represents a cyclic moiety;

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

- 5 B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

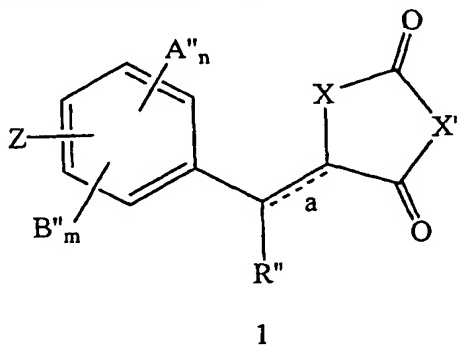
10 or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR''', -O-, or -S-;

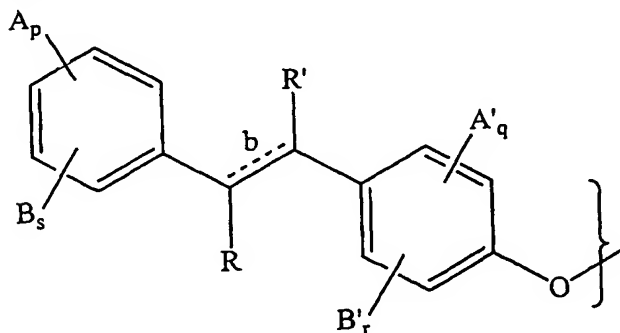
in a physiologically acceptable carrier.

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4. A pharmaceutical composition comprising:  
a therapeutically effective amount of a compound represented by the following formula 1:

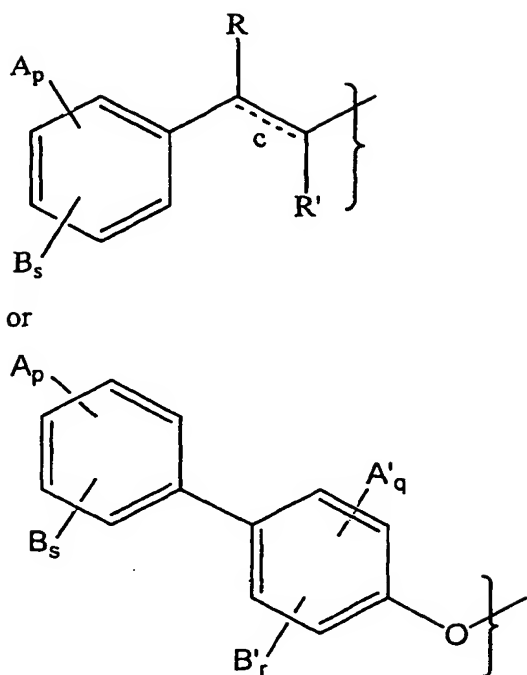


wherein Z is



20

or



- $n$ ,  $m$ ,  $q$  and  $r$  independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ;  $p$  and  $s$  independently represent integers from zero to 5 provided that  $p + s \leq 5$ ;  $a$ ,  $b$  and  $c$  represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;
- 10  $R$  independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-\text{CO}_2\text{Z}'$ ;  $-\text{CO}_2\text{R}''''$ ;  $-\text{NH}_2$ ;  $-\text{NHR}''''$ ;  $-\text{NR}_2''''$ ;  $-\text{OH}$ ;  $-\text{OR}''''$ ;  $-\text{CONR}_2''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;
- 15  $R'$  independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-\text{CO}_2\text{Z}'$ ;  $-\text{CO}_2\text{R}''''$ ;  $-\text{NH}_2$ ;  $-\text{NHR}''''$ ;  $-\text{NR}_2''''$ ;  $-\text{OR}''''$ ;  $-\text{CONR}_2''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;
- 20  $R''$  independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-\text{CO}_2\text{Z}'$ ;  $-\text{CO}_2\text{R}''''$ ;  $-\text{NH}_2$ ;  $-\text{NHR}''''$ ;  $-\text{NR}_2''''$ ;  $-\text{OH}$ ;  $-\text{OR}''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;



R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

- 5 R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

10

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

- 15 B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

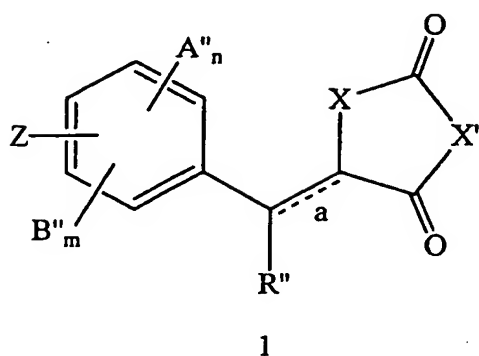
or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a  
20 methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR''', -O-, or -S-;

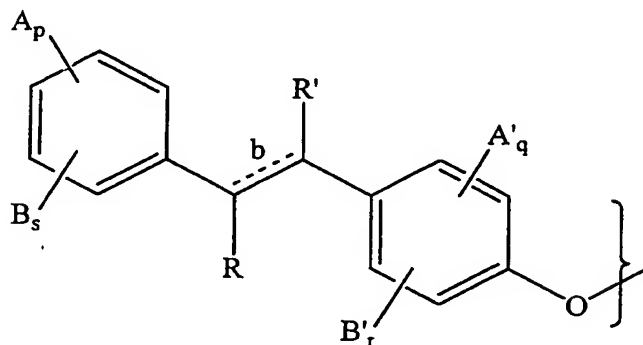
in a physiologically acceptable carrier.

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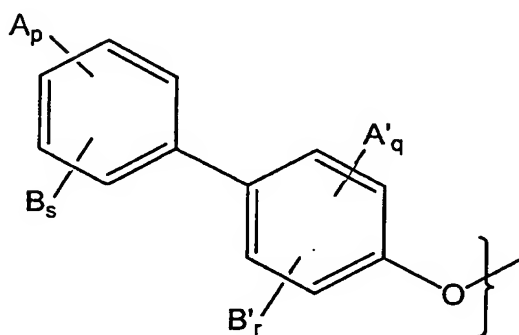
5. A method of treating diabetes comprising:  
administering to a subject suffering from a diabetic condition, a therapeutically  
effective amount of a compound represented by the following formula 1:



wherein Z is



or



5

n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a, b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

10

R and R' each independently represent a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-\text{CO}_2\text{Z}'$ ;  $-\text{CO}_2\text{R}''''$ ;  $-\text{NH}_2$ ;  $-\text{NHR}''''$ ;  $-\text{NR}_2''''$ ;  $-\text{OH}$ ;  $-\text{OR}''''$ ;  $-\text{CONR}_2''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

15

R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted  
 5 linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

10 R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

15 A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;  
 20

or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

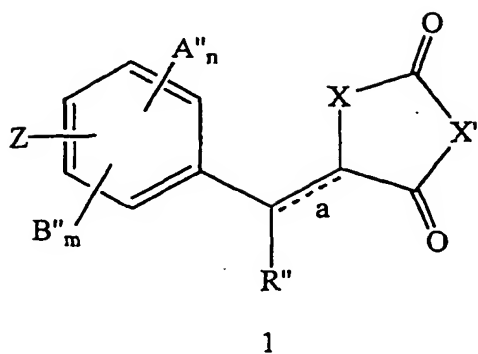
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X and X' independently represent >NH, >NR''', -O-, or -S-;

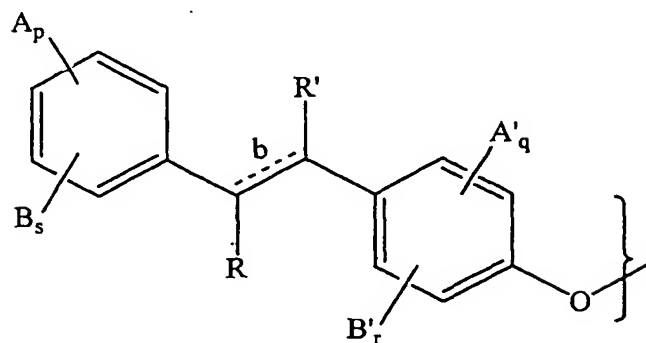
in a physiologically acceptable carrier.

30 6. A method of treating diabetes comprising:

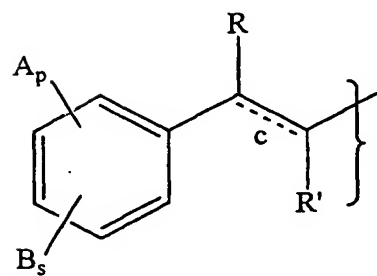
administering to a subject suffering from a diabetic condition, a therapeutically effective amount of a compound represented by the following formula 1:



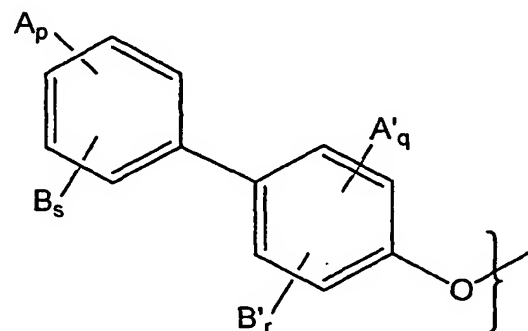
wherein Z is



or



or



$n, m, q$  and  $r$  independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ;  $p$  and  $s$  independently represent integers from zero to 5 provided that  $p + s \leq 5$ ;  $a, b$  and  $c$  represent double bonds which may be present or absent; when present, the double

10

bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

5 R independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; -CONR<sub>2</sub>'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

10 R' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OR'''; -CONR<sub>2</sub>'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

15 R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

20 R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

25

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

30

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

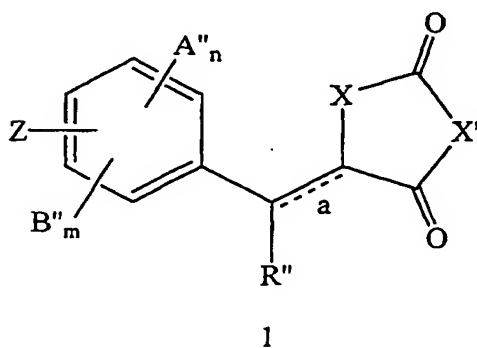
or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR'', -O-, or -S-;

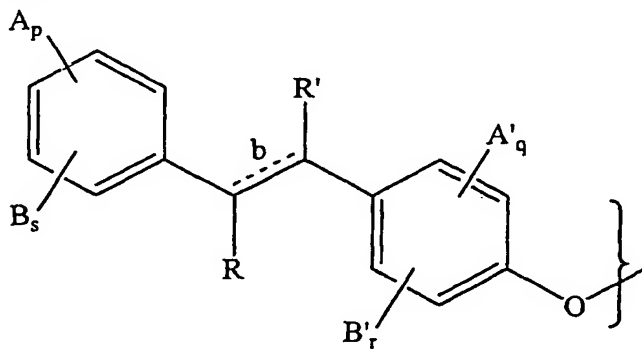
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in a physiologically acceptable carrier.

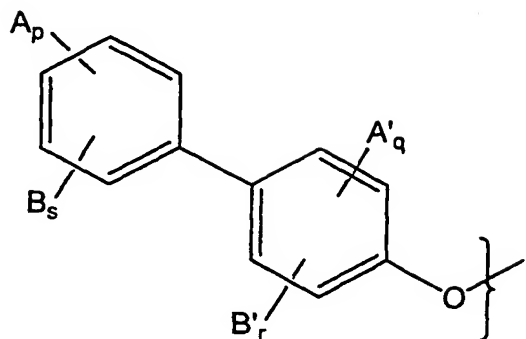
7. A method of treating inflammation or inflammatory disease comprising:  
administering to a subject suffering from such condition, a therapeutically effective  
10 amount of a compound represented by the following formula 1:



wherein Z is



15 or



n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a, b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

R and R' each independently represent a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ;  $-CONR_2''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

R'' independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

R''' independently represents a linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl; or  $-(CH_2)_x$ -Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted  $C_1$ - $C_{20}$  alkyl; optionally substituted  $C_1$ - $C_{20}$  alkoxy; optionally substituted  $C_2$ - $C_{20}$  alkenyl; optionally substituted  $C_6$ - $C_{10}$  aryl; or  $NR_2''''$  represents a cyclic moiety;

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A" each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

- 5 B, B' and B" each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

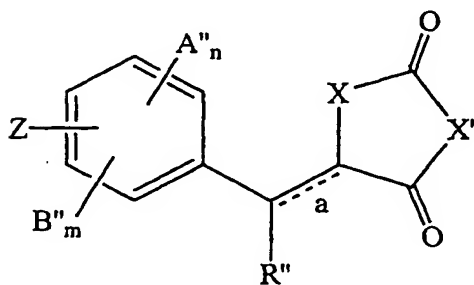
or A and B jointly, A' and B' jointly, or A" and B" jointly, independently represent a  
10 methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR'', -O-, or -S-;

in a physiologically acceptable carrier.

15

8. A method of treating inflammation or inflammatory disease comprising:  
administering to a subject suffering from such condition, a therapeutically effective  
amount of a compound represented by the following formula 1:

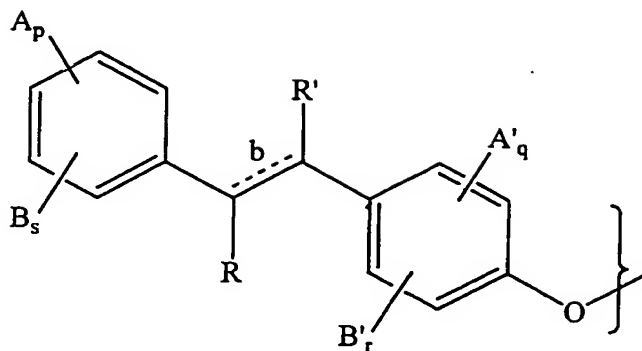


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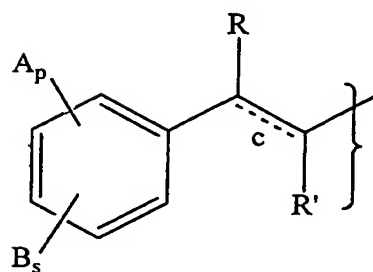
1

wherein Z is

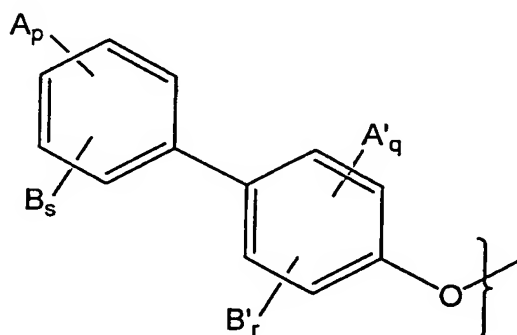




or



or



5

$n$ ,  $m$ ,  $q$  and  $r$  independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ;  $p$  and  $s$  independently represent integers from zero to 5 provided that  $p + s \leq 5$ ;  $a$ ,  $b$  and  $c$  represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

$R$  independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ;  $-CONR_2''''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

15

R' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OR'''; -CONR<sub>2</sub>''''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

5

R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

10

R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

15

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

20

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

25

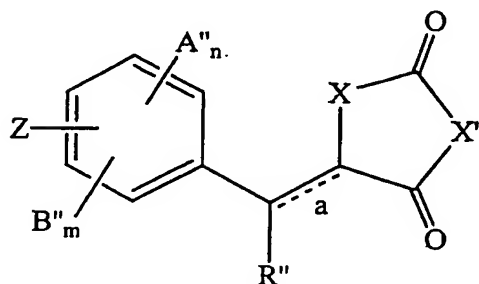
or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

30

X and X' independently represent >NH, >NR''', -O-, or -S-;

in a physiologically acceptable carrier.

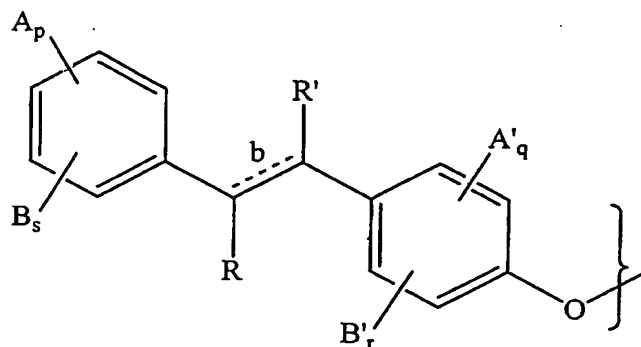
9. A method of treating immunological disease comprising:  
administering to a subject suffering from an immunological disease a therapeutically  
effective amount of a compound represented by the following formula 1:



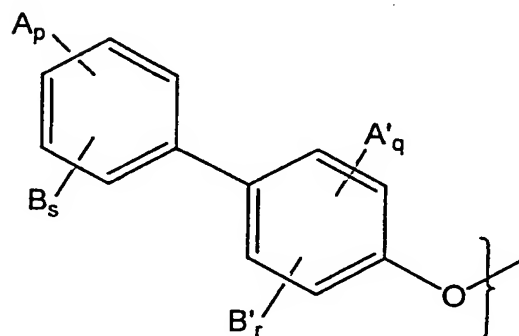
5

1

wherein Z is



or



- 10 n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a, b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

15

R and R' each independently represent a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; -CONR<sub>2</sub>'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

5

R'' independently represents a hydrogen atom; linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; -CO<sub>2</sub>Z'; -CO<sub>2</sub>R'''; -NH<sub>2</sub>; -NHR'''; -NR<sub>2</sub>'''; -OH; -OR'''; halogen atom; optionally substituted linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

10

R''' independently represents a linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl; or -(CH<sub>2</sub>)<sub>x</sub>-Ar, where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

15

Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

20

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

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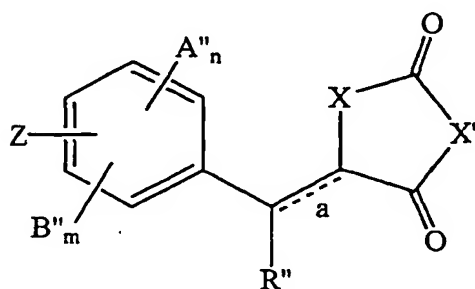
or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

30

X and X' independently represent >NH, >NR''', -O-, or -S-;

in a physiologically acceptable carrier.

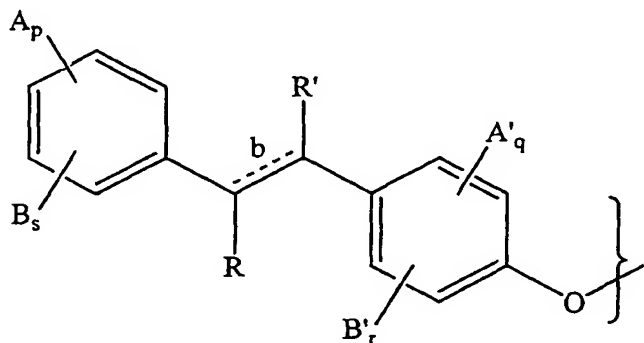
10. A method of treating immunological disease comprising:  
administering to a subject suffering from an immunological disease a therapeutically  
effective amount of a compound represented by the following formula 1:



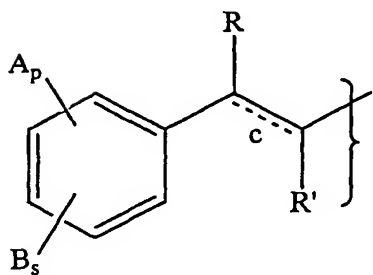
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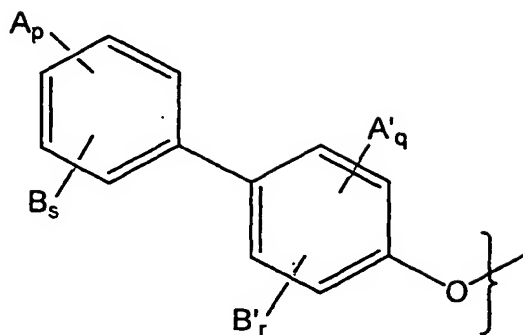
wherein Z is



or



10 or



n, m, q and r independently represent integers from zero to 4 provided that  $n + m \leq 4$  and  $q + r \leq 4$ ; p and s independently represent integers from zero to 5 provided that  $p + s \leq 5$ ; a, b and c represent double bonds which may be present or absent; when present, the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S- configuration;

R independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ;  $-CONR_2'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

R' independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OR'''$ ;  $-CONR_2'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

R'' independently represents a hydrogen atom; linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl;  $-CO_2Z'$ ;  $-CO_2R'''$ ;  $-NH_2$ ;  $-NHR'''$ ;  $-NR_2'''$ ;  $-OH$ ;  $-OR'''$ ; halogen atom; optionally substituted linear or branched  $C_1$ - $C_{20}$  alkyl; optionally substituted linear or branched  $C_2$ - $C_{20}$  alkenyl;

R''' independently represents a linear or branched  $C_1$ - $C_{20}$  alkyl; linear or branched  $C_2$ - $C_{20}$  alkenyl; or  $-(CH_2)_x-Ar$ , where x represents an integer from 1 to 6 and Ar represents aryl;

R'''' independently represents a hydrogen atom; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkyl; optionally substituted C<sub>1</sub>-C<sub>20</sub> alkoxy; optionally substituted C<sub>2</sub>-C<sub>20</sub> alkenyl; optionally substituted C<sub>6</sub>-C<sub>10</sub> aryl; or NR<sub>2</sub>'''' represents a cyclic moiety;

5 Z' represents a hydrogen atom or a pharmaceutically acceptable counter-ion;

A, A' and A'' each independently represent a hydrogen atom; C<sub>1</sub>-C<sub>20</sub> acylamino; C<sub>1</sub>-C<sub>20</sub> acyloxy; C<sub>1</sub>-C<sub>20</sub> alkanoyl; C<sub>1</sub>-C<sub>20</sub> alkoxycarbonyl; C<sub>1</sub>-C<sub>20</sub> alkoxy; C<sub>1</sub>-C<sub>20</sub> alkylamino; C<sub>1</sub>-C<sub>20</sub> alkylcarboxylamino; carboxyl; cyano; halo; or hydroxy;

10

B, B' and B'' each independently represent; C<sub>2</sub>-C<sub>20</sub> alkenoyl; aroyl; aralkanoyl; nitro; optionally substituted, linear or branched C<sub>1</sub>-C<sub>20</sub> alkyl; or optionally substituted, linear or branched C<sub>2</sub>-C<sub>20</sub> alkenyl;

15 or A and B jointly, A' and B' jointly, or A'' and B'' jointly, independently represent a methylenedioxy or ethylenedioxy group; and

X and X' independently represent >NH, >NR''', -O-, or -S-;

20 in a physiologically acceptable carrier.

11. A method of inhibiting the activity of TNF-alpha, IL-1, IL-6 or COX-2 which comprises administering to a host in need of such inhibition an effective amount of a compound according to claim 1 or claim 2.

25

12. The method of inhibiting the undesired action of cytokine or cyclooxygenase which comprises administering to a host in need of such inhibition an effective amount of a compound according to claim 1 or claim 2.

30

13. The method of treating a disease mediated by cytokines or cyclooxygenase which comprises administering to a host in need of such treatment a compound according to claim 1 or claim 2.

14. The method of treating insulin resistance which comprises administering to a host in need of such treatment an effective amount of a compound according to claim 1 or claim 2.
- 5 15. The method of treating hyperlipidemia which comprises administering to a host in need of such treatment an effective amount of a compound according to claim 1 or claim 2.
- 10 16. The method of treating coronary heart disease which comprises administering to a host in need of such treatment an effective amount of a compound according to claim 1 or claim 2.
- 15 17. The method of treating multiple sclerosis which comprises administering to a host in need of such treatment an effective amount of a compound according to claim 1 or claim 2.
18. The method of treating cancer which comprises administering to a host in need of such treatment an effective amount of a compound according to claim 1 or claim 2.
- 20 19. A compound according to claim 1 selected from the group consisting of:  
2-{4-[4-(2,4-dioxothiazolidin-5-ylidenemethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid,  
2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid,  
2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid methyl ester,  
25 3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylidenemethyl)-phenoxy]-phenyl}-acrylic acid,  
3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-acrylic acid,  
3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-  
30 acrylic acid methyl ester,  
5-(4-{4-[2-(3,5-dimethylphenyl)-1-(morpholine-4-carbonyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,  
5-(4-{4-[2-(4-methoxyphenyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,  
5-(4-{4-[2-(3,5-dimethoxyphenyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,



5-[4-(4'-methoxybiphenyl-3-yloxy)-benzylidene]-thiazolidine-2,4-dione,  
 5-[4-(4'-methoxybiphenyl-3-yloxy)-benzyl]-thiazolidine-2,4-dione,  
 5-[4-(2',4'-dimethoxybiphenyl-3-yloxy)-benzylidene]-thiazolidine-2,4-dione, and  
 5-[4-(3',5'-dimethoxybiphenyl-3-yloxy)-benzyl]-thiazolidine-2,4-dione.

5

20. A pharmaceutical composition comprising a therapeutically effective amount of a compound selected from the group consisting of:

- 2-{4-[4-(2,4-dioxothiazolidin-5-ylidenemethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid,  
 2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid,  
 10 2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-3-p-tolylacrylic acid methyl ester,  
 3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylidenemethyl)-phenoxy]-phenyl}-acrylic acid,  
 3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-  
 15 acrylic acid,  
 3-(3,5-dimethylphenyl)-2-{4-[4-(2,4-dioxothiazolidin-5-ylmethyl)-phenoxy]-phenyl}-acrylic acid methyl ester,  
 5-(4-{4-[2-(3,5-dimethylphenyl)-1-(morpholine-4-carbonyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,  
 20 5-(4-{4-[2-(4-methoxyphenyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,  
 5-(4-{4-[2-(3,5-dimethoxyphenyl)-vinyl]-phenoxy}-benzyl)-thiazolidine-2,4-dione,  
 5-[4-(4'-methoxybiphenyl-3-yloxy)-benzylidene]-thiazolidine-2,4-dione,  
 5-[4-(4'-methoxybiphenyl-3-yloxy)-benzyl]-thiazolidine-2,4-dione,  
 5-[4-(2',4'-dimethoxybiphenyl-3-yloxy)-benzylidene]-thiazolidine-2,4-dione, and  
 25 5-[4-(3',5'-dimethoxybiphenyl-3-yloxy)-benzyl]-thiazolidine-2,4-dione,  
 together with a physiologically acceptable carrier therefor.

21. A method for treating diabetes, comprising: co-administering an effective amount of a compound of claim 1 or claim 2 and an agent selected from the group consisting of:

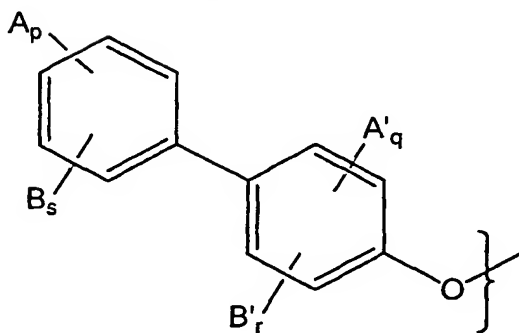
- 30 insulin or an insulin mimetic,  
 a sulfonylurea or other insulin secretagogue,  
 a thiazolidinedione,  
 a fibrate or other PPAR-alpha agonist,  
 a PPAR-delta agonist,

a biguanide,  
a statin or other hydroxymethylglutaryl (HMG) CoA reductase inhibitor,  
an alpha-glucosidase inhibitor,  
a bile acid-binding resin,  
5 apoA1,  
niacin,  
probucol,  
and nicotinic acid.

10 22. A method for treating inflammatory or immunological disease, comprising: co-administering an effective amount of a compound of claim 1 or claim 2 and an agent selected from the group consisting of:

a nonsteroidal anti-inflammatory drug (NSAID),  
a cyclooxygenase-2 inhibitor,  
15 a corticosteroid or other immunosuppressive agent,  
a disease-modifying antirheumatic drug (DMARD),  
a TNF-alpha inhibitor,  
other cytokine inhibitor,  
other immune modulating agent,  
20 and a narcotic agent.

23. The compound of claim 1 wherein Z is represented by:



24. The pharmaceutical composition of claim 3 wherein Z is represented by:

